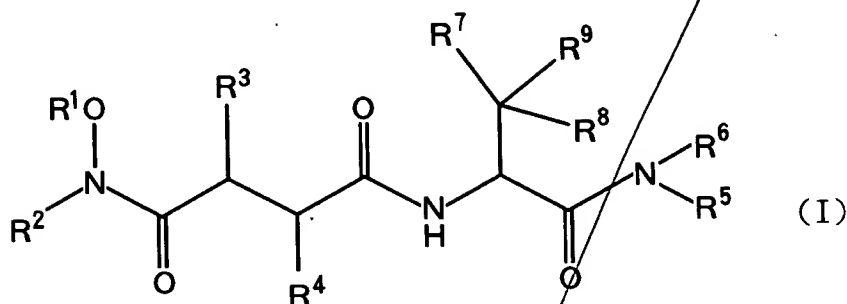


WHAT IS CLAIMED IS

1. A compound having the following formula (I):



wherein R<sup>1</sup> is selected from the group consisting of hydrogen, unsubstituted or optionally substituted aralkyl, silyl which may optionally have three substituents, tetrahydropyranyl, unsubstituted or optionally substituted aralkyloxycarbonyl, unsubstituted or optionally substituted alkyloxycarbonyl, unsubstituted or optionally substituted alkyl, and a hydroxy-protecting group;

R<sup>2</sup> is selected from the group consisting of hydrogen, unsubstituted or optionally substituted aralkyloxycarbonyl, unsubstituted or optionally substituted alkyloxycarbonyl, 9-fluorenylmethyloxycarbonyl, and an amino-protecting group;

R<sup>3</sup> is selected from the group consisting of hydrogen, hydroxy, unsubstituted or optionally substituted alkyl, and unsubstituted or optionally substituted aralkyl;

R<sup>4</sup> is selected from the group consisting of unsubstituted or optionally substituted alkyl, and unsubstituted or optionally substituted aralkyl;

R<sup>5</sup> and R<sup>6</sup>, which may be identical or different, are each independently selected from the group consisting of hydrogen, unsubstituted or optionally substituted alkyl, unsubstituted or optionally substituted cycloalkyl, an unsubstituted or optionally substituted heterocyclic group, and an amino-protecting group, or R<sup>5</sup> and R<sup>6</sup> taken together

with the nitrogen atom to which they are attached form an unsubstituted or optionally substituted heterocyclic group;

$R^7$  is selected from the group consisting of hydrogen, hydroxy, unsubstituted or optionally substituted alkyl, and unsubstituted or optionally substituted aralkyl;

$R^8$  is selected from the group consisting of hydrogen, hydroxy, unsubstituted or optionally substituted alkyl, and unsubstituted or optionally substituted aralkyl; and

$R^9$  is selected from the group consisting of hydrogen, hydroxy, amino, and a group of the formula:  $-X-Y$

wherein X is selected from the group consisting of unsubstituted or optionally substituted ( $C_1-C_6$ ) alkylene, and unsubstituted or optionally substituted phenylene, and

Y is a group of the formula:  $-A-B$  or  $-B$ , wherein A is selected from the group consisting of unsubstituted or optionally substituted ( $C_1-C_6$ ) alkylene, oxygen, sulfur, imino, and unsubstituted or optionally substituted ( $C_1-C_6$ ) alkyleneimino, and

B is selected from the group consisting of hydrogen, amino, amidino, acylimidoyl, unsubstituted or optionally substituted imidazolyl, unprotected or optionally protected bis(phosphono)methyl, and unprotected or optionally protected bis(phosphono)hydroxymethyl; or a pharmaceutically acceptable salt or solvate thereof.

2. The compound according to claim 1 wherein

$R^1$  and  $R^2$  are hydrogen;

$R^3$  is selected from the group consisting of ( $C_1-C_9$ ) alkyl, ( $C_3-C_7$ ) cycloalkyl-substituted lower ( $C_1-C_4$ ) alkyl, hydroxy, amino-substituted ( $C_1-C_6$ ) alkyl, phenyl-lower ( $C_1-C_4$ ) alkyl, guanido-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, amino-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, carboxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, carbamoyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, hydroxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, guanido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, unprotected or optionally protected

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amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, hydroxy-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) alkoxy-carbonyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, lower ( $C_1-C_4$ ) acylimido-ylimino-substituted ( $C_1-C_6$ ) alkyl, arylmethyylimino-substituted ( $C_1-C_6$ ) alkyl, nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkylimino-substituted ( $C_1-C_6$ ) alkyl, nitrogen-containing heterocyclic radical-substituted lower ( $C_1-C_4$ ) alkyl, oxygen-containing ( $C_1-C_8$ ) straight chain or branched alkyl, arylsulfonamido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, alkylsulfonamido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, aryloxy-substituted lower ( $C_1-C_4$ ) alkyl, and hydroxy-substituted ( $C_1-C_8$ ) alkyl;

$R^4$  is selected from the group consisting of ( $C_3-C_9$ ) alkyl, hydroxy-substituted ( $C_3-C_8$ ) alkyl, and unsubstituted or optionally substituted aryl-lower ( $C_1-C_4$ ) alkyl;

$R^5$  is selected from the group consisting of lower ( $C_1-C_4$ ) alkyl, ( $C_3-C_7$ ) cycloalkyl, mono- or di-lower ( $C_1-C_4$ ) alkylamino-substituted lower ( $C_1-C_4$ ) alkyl, carboxy-substituted lower ( $C_1-C_4$ ) alkyl, hydroxy-substituted ( $C_1-C_6$ ) alkyl, bis(phosphono)-hydroxymethyl-substituted ( $C_1-C_{11}$ ) alkyl, tetrabenzyl bis(phosphono)hydroxymethyl-substituted ( $C_1-C_{11}$ ) alkyl, and a nitrogen-containing heterocyclic radical;

$R^6$  is hydrogen;

$R^7$  is hydrogen or lower ( $C_1-C_4$ ) alkyl;

$R^8$  is hydrogen or lower ( $C_1-C_4$ ) alkyl; and

$R^9$  is selected from the group consisting of hydrogen, hydroxy, amino, and a group of the formula:  $-X-Y$

wherein X is ( $C_1-C_6$ ) alkylene or phenylene, and

Y is a group of the formula:  $-A-B$  or  $-B$

wherein B is selected from the group consisting of hydrogen, amino, amidino, lower ( $C_1-C_4$ ) acylimido-yl, unsubstituted or

optionally substituted benzimidoyl, bis(phosphono)methyl, tetra-lower ( $C_1-C_4$ ) alkyl bis(phosphono)methyl, tri-lower ( $C_1-C_4$ ) alkyl bis(phosphono)methyl, bis(phosphono)hydroxymethyl, tetrabenzyl bis(phosphono)hydroxymethyl, and lower ( $C_1-C_4$ ) alkyl-substituted imidazol-3-yl, and

A is selected from the group consisting of lower ( $C_1-C_4$ ) alkylene, imino, and lower ( $C_1-C_4$ ) alkylene-imino.

3. The compound according to claim 1 wherein

$R^1$  and  $R^2$  are hydrogen;

$R^3$  is selected from the group consisting of hydroxy, methyl, isobutyl, aminopropyl, phenylpropyl, guanidophenylpropyl, amino-phenylpropyl, hydroxyphenylpropyl, carboxyphenylpropyl, carbamoyl-phenylpropyl, aminomethylphenylpropyl, guanidomethylphenylpropyl, hydroxymethylphenylpropyl, aminomethylbenzyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl, isobutyliminomethylbenzyl, phthalimidomethylbenzyl, phenoxyethyl, aminopentyl, acetimidoyliminopentyl, isobutyliminopentyl, pyridylmethylimino-pentyl, methoxycarbonylphenylpropyl, ethoxyethoxyethyl, hydroxy-octyl, butoxyethyl, iso-butyloxyethyl, morpholinopropyl, (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)propyl, cyclohexylpropyl, and piperidinopropyl;

$R^4$  is selected from the group consisting of naphthylmethyl, phenylpropyl, isobutyl, tert-butyl, isopropyl, and hydroxyoctyl;

$R^5$  is selected from the group consisting of methyl, cyclopropyl, 2-(N,N-dimethylamino)ethyl, 2-carboxyethyl, 2-hydroxyethyl, 2-hydroxy-1,1-dimethylethyl, 2-hydroxy-1-methylethyl, 6,6-bis(phosphono)-6-hydroxyhexyl, tetrabenzyl 6,6-bis(phosphono)-6-hydroxyhexyl, piperidyl, and morpholinyl;

$R^6$  is hydrogen;

$R^7$  is hydrogen or methyl;

$R^8$  is hydrogen or methyl; and

$R^9$  is selected from the group consisting of hydrogen, hydroxy, amino, and a group of the formula:  $-X-Y$

wherein X is selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, and phenylene, and

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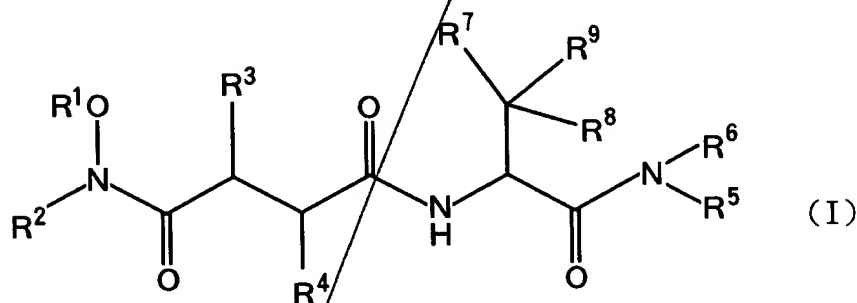
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Y is a group of the formula: -A-B or -B wherein B is selected from the group consisting of amino, amidino, acetimidoyl, propionimidoyl, benzimidoyl, bis(phosphono)methyl, tetraethyl bis(phosphono)methyl, triethyl bis(phosphono)methyl, tetramethyl bis(phosphono)-methyl, trimethyl bis(phosphono)methyl, bis(phosphono)-hydroxymethyl, tetrabenzyl bis(phosphono)hydroxymethyl, and 2-methyl-imidazol-3-yl, and

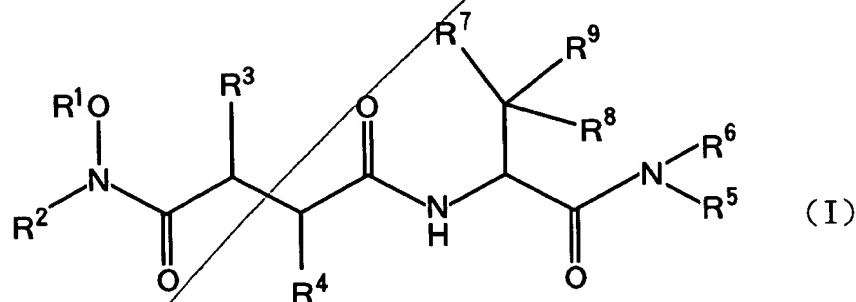
A is selected from the group consisting of imino, methyleneimino, and methylene.

4. A pharmaceutical or veterinary composition which comprises (a) an effective amount of at least a member selected from the group consisting of a compound of the formula (I):



wherein R<sup>1</sup> to R<sup>9</sup>, all have the same meanings as defined in claim 1, and a pharmaceutically or veterinarily acceptable salt or solvate thereof, and (b) a pharmaceutically or veterinarily acceptable excipient or carrier.

5. A metalloproteinase inhibitor which comprises an effective amount of at least a member selected from the group consisting of a compound of the formula (I):



wherein  $R^1$  to  $R^9$ , all have the same meanings as defined in claim 1, and a pharmaceutically acceptable salt or solvate thereof.

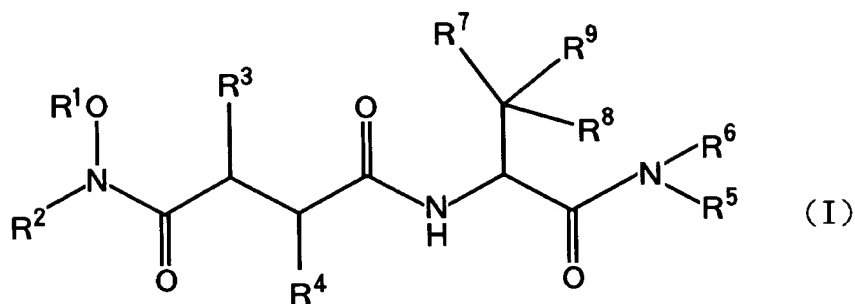
6. The inhibitor according to claim 5 wherein the metalloproteinase is selected from the group consisting of matrix metalloproteinases and the inhibitor is a kind of inhibitors of matrix metalloproteinase.

7. The inhibitor according to claim 5 wherein the metalloproteinase is selected from the group consisting of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ )-converting enzymes and the inhibitor is a kind of inhibitors of TNF- $\alpha$  convertase.

8. Use of a compound of the formula (I) according to claim 1 for prophylactically and/or therapeutically treating diseases and/or disorders associated with tissue degradation.

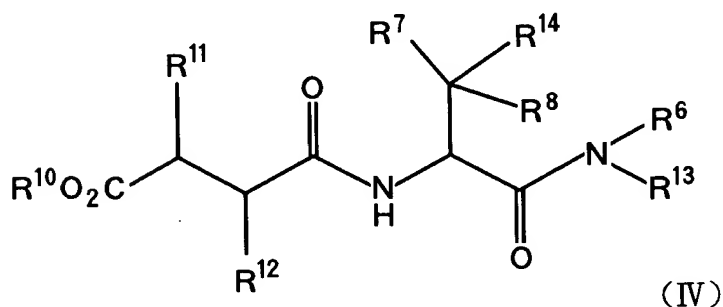
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9. A process for producing a compound having the following formula (I):



or a pharmaceutically acceptable salt or solvate thereof, which comprises:

(a) converting an ester moiety of a compound of the formula (IV):



into an amide bond-containing moiety thereof, and, if desired, further optionally converting R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, and/or R<sup>14</sup> into the target functional group(s), R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and/or R<sup>9</sup>, respectively, or

(b) if desired, optionally converting R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, and/or R<sup>14</sup> in the compound of the formula (IV) into the target functional group(s), R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and/or R<sup>9</sup>, respectively, and then converting an ester moiety thereof into an amide bond-containing moiety thereof,

wherein R<sup>1</sup> to R<sup>9</sup>, all have the same meanings as defined in

claim 1;

$R^{10}$  is selected from the group consisting of unsubstituted or optionally substituted alkyl, unsubstituted or optionally substituted aralkyl, and a carboxy-protecting group;

$R^{11}$  has the same meaning as defined for  $R^3$ , or is selected from the group consisting of protected hydroxy, protected guanido-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected amino-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, nitro-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected amino-substituted ( $C_1-C_6$ ) alkyl, nitro-substituted ( $C_1-C_6$ ) alkyl, protected carboxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected hydroxy-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected guanido-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected amino-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected hydroxy-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected carboxy-substituted lower ( $C_1-C_4$ ) alkyl-substituted phenyl-lower ( $C_1-C_4$ ) alkyl, protected hydroxy-containing ( $C_1-C_8$ ) straight chain or branched alkyl, and cyano-substituted phenyl-lower ( $C_1-C_4$ ) alkyl;

$R^{12}$  has the same meaning as defined for  $R^4$ , or is protected hydroxy-substituted ( $C_1-C_8$ ) alkyl;

$R^{13}$  has the same meaning as defined for  $R^5$ , or is selected from the group consisting of protected carboxy-substituted lower ( $C_1-C_4$ ) alkyl, protected hydroxy-substituted lower ( $C_1-C_4$ ) alkyl, protected bis(phosphono)hydroxymethyl-substituted ( $C_1-C_{11}$ ) alkyl, and a protected nitrogen-containing heterocyclic group; and

$R^{14}$  has the same meaning as defined for  $R^9$ , or is selected from the group consisting of protected amino, protected hydroxy, and a group of the formula:  $-X-E$  or  $-X-A-E$

wherein X and A, both have the same meanings as given above, and E is selected from the group consisting of nitro, cyano, amino, carboxyl, ( $C_1-C_{11}$ ) hydroxyalkyl, protected amino, protected guanido, protected amidino, protected acylimido, protected benzimidoyl, protected



bis(phosphono)methyl, protected bis(phosphono)hydroxymethyl, and protected ( $C_1-C_{11}$ ) alkyl-substituted imidazol-3-yl.

10. The process according to claim 9 wherein  $R^{10}$  is selected from the group consisting of ( $C_1-C_6$ ) alkyl, benzyl, substituted benzyl, phenacyl, and 2,2,2-trichloroethyl;

$R^{11}$  has the same meaning as defined for  $R^3$ , or is selected from the group consisting of protected guanido-phenylpropyl, protected amino-phenylpropyl, nitro-phenylpropylene, protected aminopropyl, nitropropyl, protected hydroxy-phenylpropyl, protected carboxy-phenylpropyl, protected aminomethyl-phenylpropyl, protected guanidomethyl-phenylpropyl, protected hydroxymethyl-phenylpropyl, protected aminomethyl-benzyl, cyano-phenylpropyl, protected aminopentyl, cyano-benzyl, protected hydroxyoctyl, and nitropentyl;

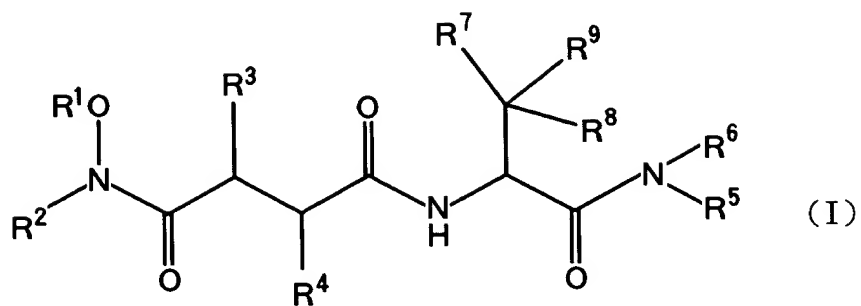
$R^{12}$  has the same meaning as defined for  $R^4$ , or is protected hydroxyoctyl;

$R^{13}$  has the same meaning as defined for  $R^5$ , or is selected from the group consisting of protected 2-carboxyethyl, protected 2-hydroxyethyl, protected 2-hydroxy-1,1-dimethylethyl, protected 2-hydroxy-1-methylethyl, and protected 6,6-bis-phosphono-6-hydroxy-hexyl;

$R^{14}$  has the same meaning as defined for  $R^9$ , or is selected from the group consisting of protected amino, protected hydroxy, and a group of the formula:  $-X-F$  or  $-X-A-F$

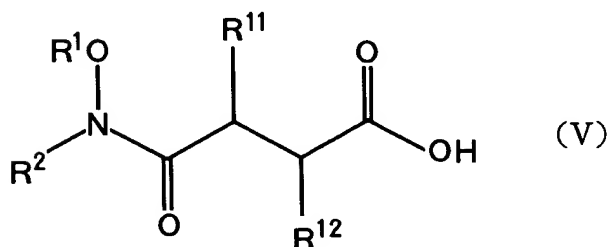
wherein X and A, both have the same meanings as given above, and F is selected from the group consisting of nitro, cyano, amino, carboxyl, hydroxymethyl, protected amino, protected guanido, protected amidino, protected acetimidoyl, protected propionimidoyl, protected benzimidoyl, protected bis(phosphono)methylimino, and protected bis(phosphono)hydroxymethyl.

11. A process for producing a compound having the following formula (I):

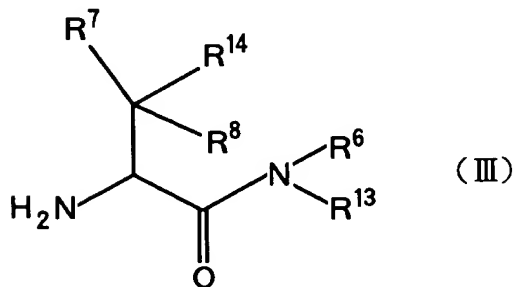


or a pharmaceutically acceptable salt or solvate thereof, which comprises:

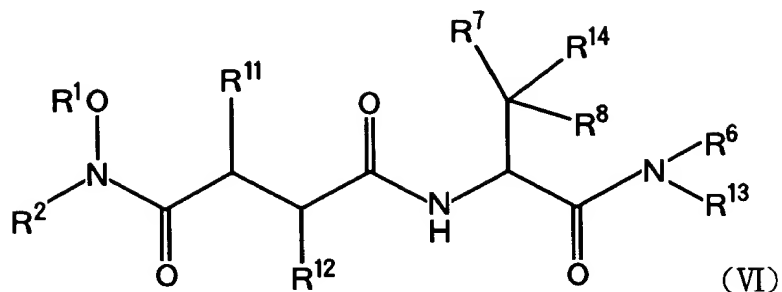
(a) reacting a hydroxamic acid backbone-containing succinic acid derivative of the formula (V):



with an amine derivative of the formula (III):



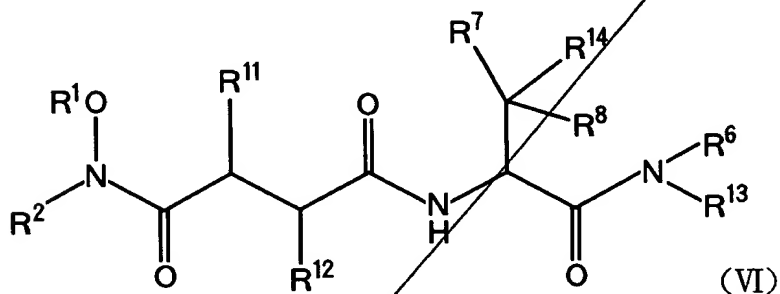
to form a compound of the formula (VI):



and, if desired, optionally converting  $R^{11}$ ,  $R^{12}$ ,  $R^{13}$  and/or  $R^{14}$  into the target functional group(s),  $R^3$ ,  $R^4$ ,  $R^5$  and/or  $R^9$ , respectively,

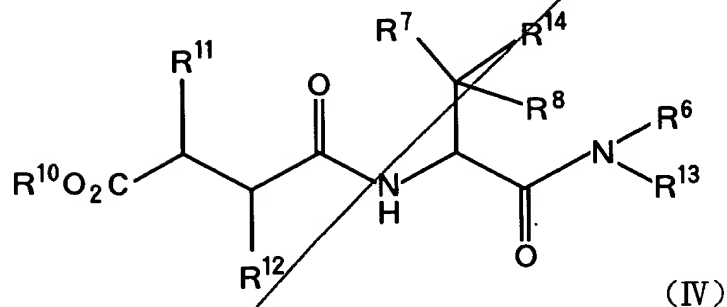
wherein  $R^1$  to  $R^9$ , all have the same meanings as defined in claim 1, and  $R^{11}$  to  $R^{14}$ , all have the same meanings as defined in claim 9.

12. A compound having the following formula (VI):



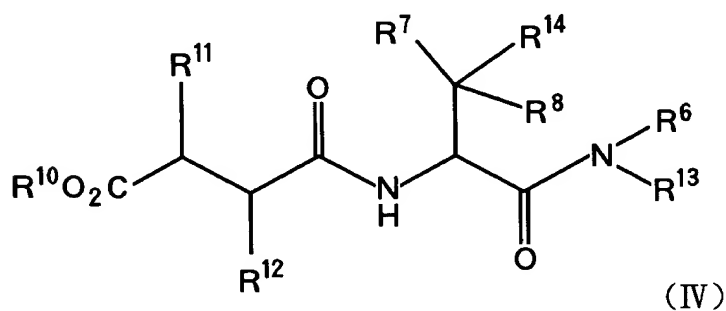
wherein  $R^1$ ,  $R^2$ , and  $R^6$  to  $R^8$ , all have the same meanings as defined in claim 1, and  $R^{11}$  to  $R^{14}$ , all have the same meanings as defined in claim 9, or a salt thereof.

13. A compound having the following formula (IV):

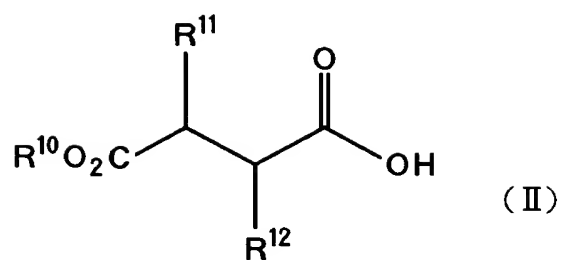


wherein  $R^6$  to  $R^8$ , all have the same meanings as defined in claim 1, and  $R^{10}$  to  $R^{14}$ , all have the same meanings as defined in claim 9, or a salt thereof.

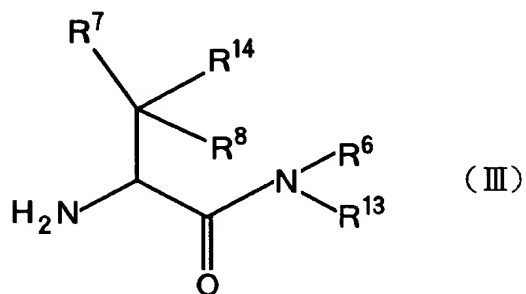
14. A process for producing a compound having the following formula (IV):



or a salt thereof,  
which comprises reacting a succinic acid derivative of the formula (II):

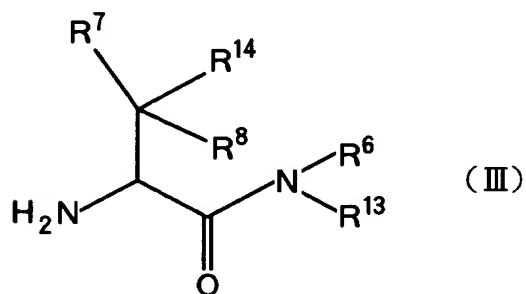


with an amine derivative of the formula (III):



wherein  $R^6$  to  $R^8$ , all have the same meanings as defined in claim 1, and  $R^{10}$  to  $R^{14}$ , all have the same meanings as defined in claim 9.

15. A compound having the following formula (III):



wherein  $R^6$  to  $R^8$ , all have the same meanings as defined in claim 1, and  $R^{13}$  and  $R^{14}$ , both have the same meanings as defined

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BH  
ADD  
C2

BH

ADD

C2